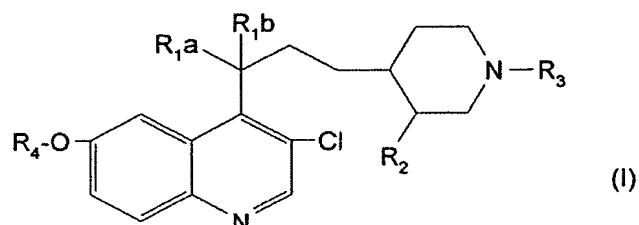


CLAIMS

1) A compound of the formula (I):



wherein:

R_{1a} is hydrogen, halogen, hydroxyl, amino, alkylamino, dialkylamino, hydroxyamino, alkoxyamino or alkylalkoxyamino; and

R_{1b} is hydrogen; or

R_{1a} and R_{1b} form an oxo group;

R₂ is carboxyl, carboxymethyl or hydroxymethyl;

R₃ is C₁₋₆alkyl substituted with phenylthio, C₃₋₇cycloalkylthio or 5- to 6-membered heteroarylthio; or propargyl substituted with phenyl, C₃₋₇cycloalkyl or 5- to 6-membered heteroaryl;

wherein said heteroaryl is having 1 to 4 heteroatoms chosen from nitrogen, oxygen and sulfur; and

wherein said phenyl or said heteroaryl is optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxyl, alkyl, alkyloxy, trifluoromethyl, trifluoromethoxy, carboxyl, alkyloxycarbonyl, cyano and amino; and

wherein said cycloalkyl is optionally substituted with one or more substituents chosen from halogen and trifluoromethyl; and

5 R_4 is C_{1-6} alkyl, C_{2-6} alkenyl- CH_2 - or C_{2-6} alkynyl- CH_2 -,
 C_{3-8} cycloalkyl or C_{3-8} cycloalkylalkyl; or

 an isomer, an enantiomer, a diastereoisomer or a
 mixture thereof, or a pharmaceutically acceptable
10 salt thereof.

- 2) The compound as set forth in claim 1, wherein R_{1a}
 is hydroxyl and R_{1b} is hydrogen.
- 15 3) The compound as set forth in claim 1, wherein R_{1a}
 and R_{1b} form an oxo group.
- 4) The compound as set forth in claim 1, wherein R_4 is
 C_{1-6} alkyl.
- 20 5) The compound as set forth in claim 1, wherein R_2 is
 carboxyl.
- 6) The compound as set forth in claim 1, wherein R_3 is
25 C_{1-6} alkyl substituted with an optionally
 substituted phenylthio, cycloalkylthio or
 heteroarylthio.
- 7) The compound as set forth in claim 6, wherein R_3 is
30 ethyl substituted with thienylthio or phenylthio
 substituted with halogen or cyclohexylthio or
 cyclopentylthio.

- 8) The compound as set forth in claim 1, which is selected from the group consisting of:

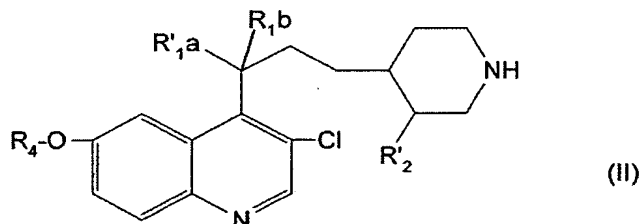
5 4-[3-hydroxy-3-(3-chloro-6-methoxyquinolin-4-yl)-propyl]-1-[2-(2,5-difluorophenyl-sulfanyl)ethyl]piperidine-3-carboxylic acid; and

10 4-[3-hydroxy-3-(3-chloro-6-methoxyquinolin-4-yl)-propyl]-1-[2-(2-thienylsulfanyl)ethyl]piperidine-3-carboxylic acid; or

an isomer, an enantiomer, a diastereoisomer or a mixture thereof, or a pharmaceutically acceptable salt thereof.

15

- 9) A process for preparing a compound of formula (I) as set forth in claim 1 comprising condensing R₃-X with a compound of formula (II):



20

wherein R₄ is as defined in claim 1;

R'_{1a} is hydrogen or hydroxyl; and

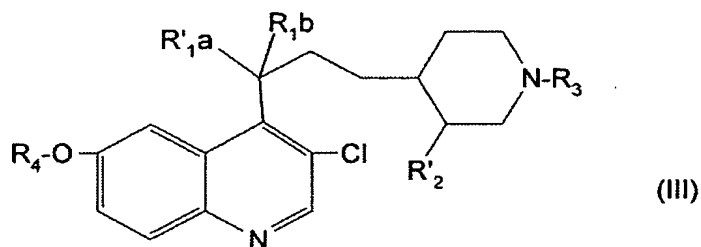
R'_{1b} is hydrogen; or

25

R'_{1a} and R'_{1b} form an oxo group; and

R'₂ is protected carboxyl or carboxymethyl;

to obtain a compound of formula (III):



wherein R'_{1a} , R_{1b} , R'_2 , R_3 and R_4 are as defined above; and optionally

5

treating the compound of formula (III) in which R'_{1a} is hydroxyl and R_{1b} is hydrogen with a halogenating agent; or optionally

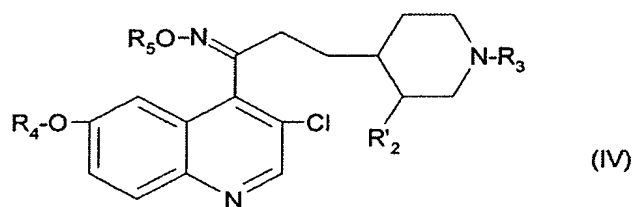
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oxidizing the compound of formula (III) in which R'_{1a} is hydroxyl and R_{1b} is hydrogen to an oxo group; and

converting said oxo group to hydroxyimino or alkoxyimino group;

15

to obtain a compound of formula (IV):



wherein R'_2 , R_3 and R_4 are as defined above; and

20

R_5 is hydrogen or alkyl; and

reducing the compound of formula (IV) in which R_5 is hydrogen to the corresponding amine; and optionally,

alkylating said amine to a monoalkylated or dialkylated amine; or optionally

25

reducing the compound of formula (IV) in which R₅
is hydrogen to a hydroxylamine, or
reducing the compound of formula (IV) in which R₅
is an alkyl to an alkoxyamine; and optionally
5 alkylating said alkoxyamine to obtain the
corresponding compound in which R_{1a} is
alkylalkoxyamino; and

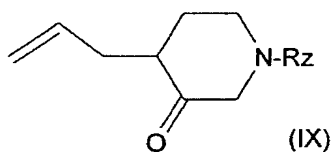
converting R'₂ to carboxyl or carboxymethyl; and
10 optionally
reducing said carboxyl or protected carboxyl
compound to hydroxymethyl compound; and
optionally

converting said hydroxymethyl compound to
15 carboxymethyl compound; and optionally
separating the isomers, and removing the acid-
protecting group; and optionally
converting said compound to a suitable salt.

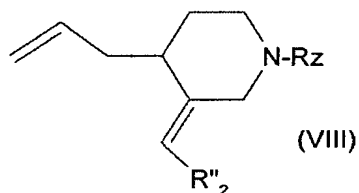
20 **10)** The process as set forth in claim 9, wherein the
compound of formula (II), in which R'_{1a} is
hydroxyl, is prepared by oxidation in a basic
medium of a corresponding compound for which R'_{1a}
and R_{1b} are hydrogen, the amine functional group of
25 the piperidine is protected and R'₂ is as defined
in claim 9.

11) The process as set forth in claim 9, wherein the
compound of formula (II) in which R'_{1a} and R_{1b} form
30 an oxo group is prepared by oxidation of a
corresponding compound of formula (II) in which
R'_{1a} is a hydroxyl, which is obtained as described
in claim 10.

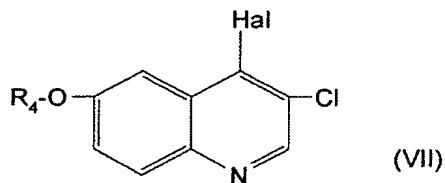
- 12) The process as set forth in claim 9, wherein the compound of formula (II) in which R'_2 represents a protected carboxymethyl, and R'_{1a} and R_{1b} are hydrogen, is prepared by condensing a suitable phosphorous ylide with a compound of formula (IX):



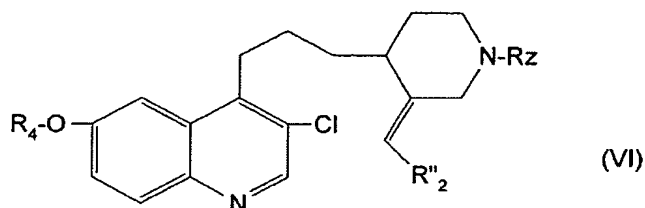
- wherein R_z is an amino-protecting group; to obtain a compound of formula (VIII):



- wherein R_z is as defined above and R''_2 is a protected carboxyl; and condensing said compound of formula (VIII) with a compound of formula (VII):

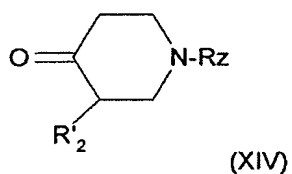


- wherein R_4 is defined as in claim 1 and Hal represents an iodine or bromine atom; to obtain a compound of formula (VI):

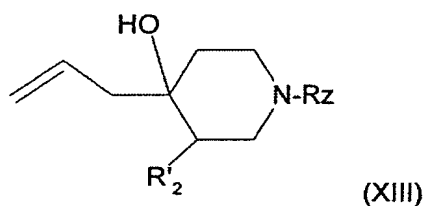


wherein R''_2 and R_z are as defined above; and
 5 subjecting said compound of formula (VI) to a
 selective hydrogenation; and optionally
 deprotecting, where appropriate, the amino group
 of the piperidine.

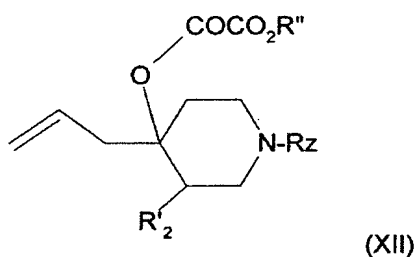
- 10 **13)** The process as set forth in claim 12, wherein the
 compound of formula (II) in which R'_2 is protected
 carboxyl is prepared by
 15 subjecting a compound of formula (II) in which R'_2
 is protected carboxymethyl to a reduction to
 obtain a compound of formula (II) in which R'_2 is
 hydroxyethyl;
 20 converting said hydroxyethyl compound to a
 p-toluenesulfonyloxyethyl derivative; and
 converting said derivative to a vinyl derivative
 by an elimination reaction; and
 25 oxidizing said vinyl derivative and protecting
 thus obtained carboxyl to obtain compound of
 formula (II) in which R'_2 is protected carboxyl.
- 14)** The process as set forth in claim 9, wherein the
 compound of formula (II), in which R'_{1a} and R_{1b} are
 hydrogen atoms, is prepared by allylation of the
 keto ester of general formula (XIV):



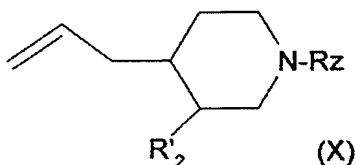
5 wherein R'₂ is as defined in claim 8 and R_z is as defined in claim 12, to obtain a derivative of general formula (XIII):



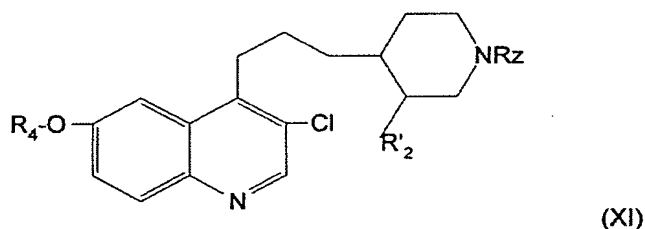
10 wherein R'₂ and R_z are as defined above, which is reacted with an alkyl oxalyl halide to obtain a derivative of general formula (XII):



15 wherein R'' represents an alkyl and R'₂ and R_z are as defined above, which is subjected to a deoxygenation reaction, to obtain a derivative of general formula (X):



in which R'_2 and Rz are as defined above, which is condensed with a quinoline derivative of general formula (VII) as defined in claim 10, to obtain a derivative of general formula (XI):



and then the amino-protecting radical Rz is removed.

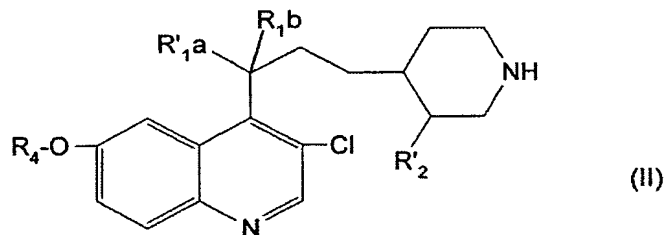
15) The process as set forth in claim 9 wherein the compound formed is 4-[3-hydroxy-3-(3-chloro-6-methoxyquinolin-4-yl)-propyl]-1-[2-(2,5-difluorophenylsulfanyl)ethyl]piperidine-3-carboxylic acid.

16) The process as set forth in claim 9 wherein the compound formed is 4-[3-hydroxy-3-(3-chloro-6-methoxyquinolin-4-yl)-propyl]-1-[2-(2-thienylsulfanyl)ethyl]piperidine-3-carboxylic acid.

17) A pharmaceutical composition comprising therapeutically effective amount of a compound of formula (I) as set forth in claim 1 or a pharmaceutically acceptable salt thereof in

combination with a pharmaceutically acceptable carrier.

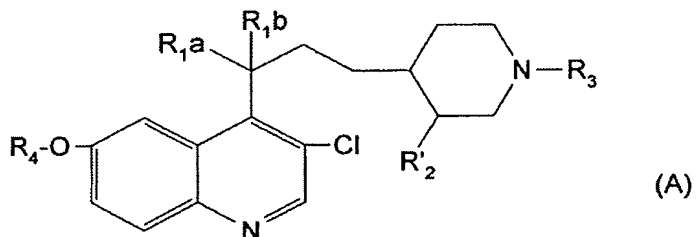
18) A compound of formula (II):



wherein R_4 is as defined in claim 1, either R'_{1a} is hydrogen or hydroxyl and R_{1b} is hydrogen or R'_{1a} and R_{1b} form an oxo group and R'_2 is protected carboxyl or carboxymethyl.

10

19) A compound of formula (A):

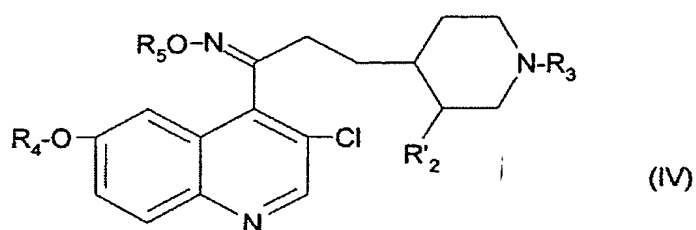


wherein R_{1a} , R_{1b} , R_3 and R_4 are as defined in claim 1 and R'_2 is protected carboxyl or carboxymethyl.

15

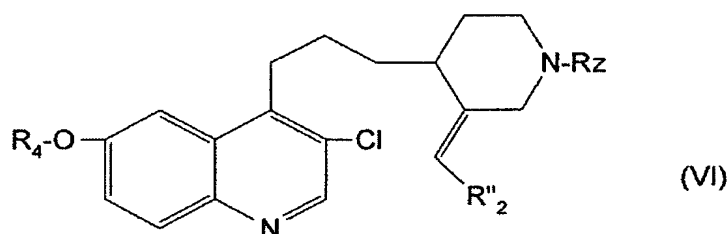
20) A compound of formula (IV):

20



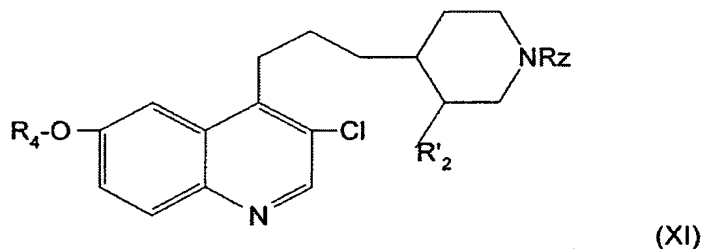
wherein R₃ and R₄ are as defined in claim 1 and R'₂ is protected carboxyl or carboxymethyl and R₅ is hydrogen or alkyl.

21) A compound of formula (VI):



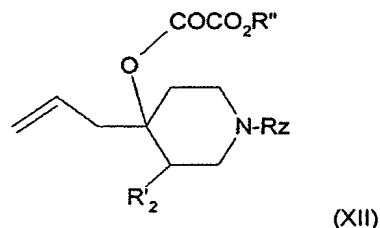
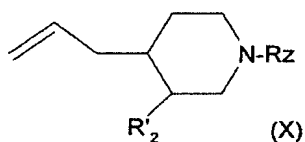
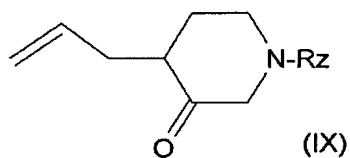
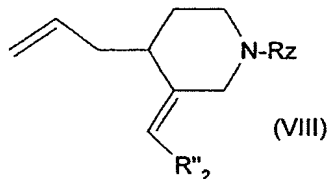
wherein R₄ is as defined in claim 1 and R''₂ is protected carboxyl and R_z is an amino-protecting group.

22) A compound of formula (XI):



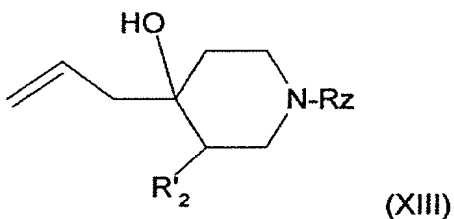
wherein R₄ is as defined in claim 1, R'₂ is protected carboxyl or carboxymethyl and R_z is an amino-protecting group.

23) A compound of formulae:



5

or



10

wherein R'2 is as defined in claim 9 and R''2 and Rz are as defined in claim 12.

15

24) A method of treatment of a bacterial infection in a patient comprising administering to said patient a therapeutically effective amount of a compound of formula (I) as set forth in claim 1 or a pharmaceutically acceptable salt thereof.

20

25) The method as set forth in claim 24 wherein said bacterial infection is caused by gram (+) bacteria.

26) The method as set forth in claim 24 wherein said

bacterial infection is staphylococcic infection.

- 27)** The method as set forth in claim 23 wherein said
staphylococcic infection is selected from the
5 group consisting of staphylococcal septicemias,
malignant staphylococcic infections of the face or
skin, pyoderma, septic or suppurant wounds,
anthrax, phlegmons, erysipelas, acute primary or
post-influenza staphylococcic infections,
10 bronchopneumonias and pulmonary suppurations.
- 28)** The method as set forth in claim 23 wherein said
bacterial infection is colibacillooses and related
infections, proteus infection, klebsiella
15 infection, salmonella infection, and infection
caused by gram (-) bacteria.